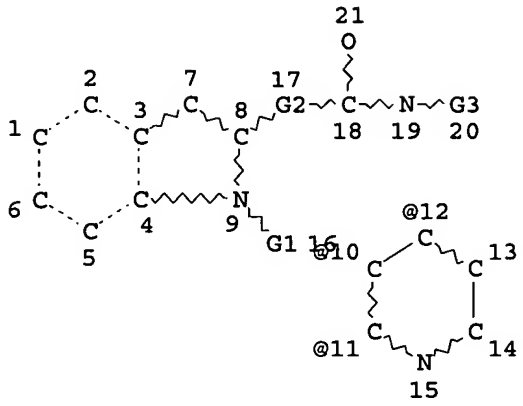
=> d l1
L1 HAS NO ANSWERS
L1 STR



VAR G1=12/10/11
REP G2=(0-10) A
VAR G3=CY/O
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 11 9
NUMBER OF NODES IS 21

STEREO ATTRIBUTES: NONE

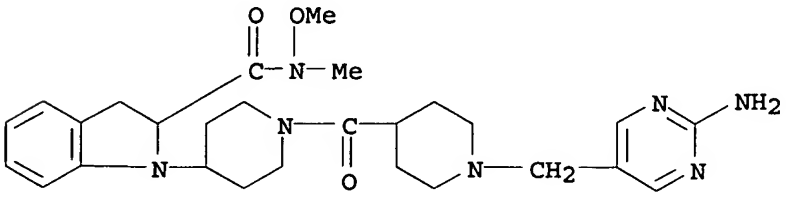
=> s l1 ful
FULL SEARCH INITIATED 08:49:56 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 12717 TO ITERATE

100.0% PROCESSED 12717 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.02

L3 2 SEA SSS FUL L1

=> d 1-2

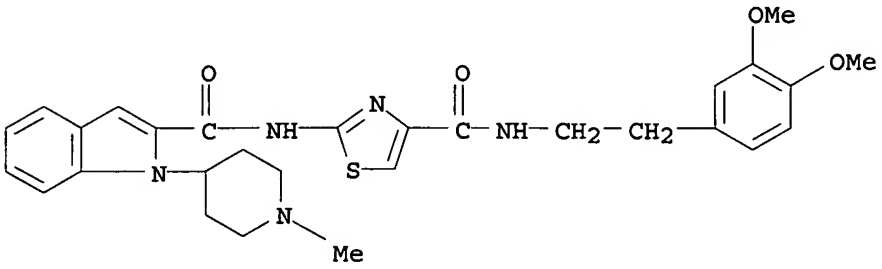
L3 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN
RN 639506-35-7 REGISTRY
ED Entered STN: 20 Jan 2004
CN 1H-Indole-2-carboxamide, 1-[1-[[1-[(2-amino-5-pyrimidinyl)methyl]-4-piperidinyl]carbonyl]-4-piperidinyl]-2,3-dihydro-N-methoxy-N-methyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C27 H37 N7 O3
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN
RN 189511-31-7 REGISTRY
ED Entered STN: 06 Jun 1997
CN 1H-Indole-2-carboxamide, N-[4-[[[2-(3,4-dimethoxyphenyl)ethyl]amino]carbonyl]-2-thiazolyl]-1-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C29 H33 N5 O4 S
SR CA
LC STN Files: CA, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.06	172.27

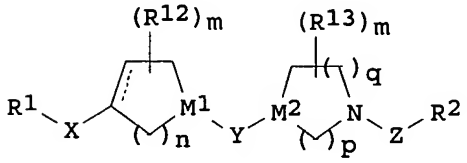
FILE 'CAPLUS' ENTERED AT 08:50:10 ON 17 MAY 2006

FILE COVERS 1907 - 17 May 2006 VOL 144 ISS 21
FILE LAST UPDATED: 16 May 2006 (20060516/ED)

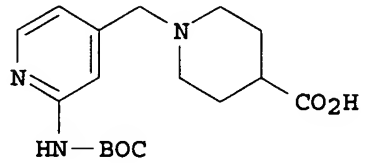
Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

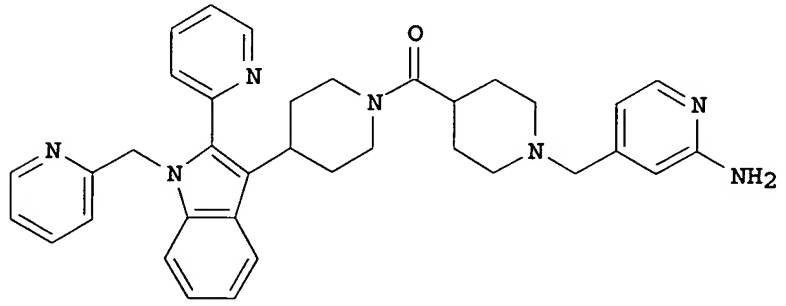
```
=> s l3
L4            2 L3

=> d bib abs hitstr 1-2

L4   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2004:2876  CAPLUS
DN   140:59522
TI   Preparation of indole derivatives as histamine H3 antagonists
IN   Aslanian, Robert G.; Berlin, Michael Y.; Mangiaracina, Pietro; McCormick,
     Kevin D.; Mutahi, Mwangi W.; Rosenblum, Stuart B.
PA   Schering Corporation, USA
SO   PCT Int. Appl., 62 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.           KIND   DATE        APPLICATION NO.         DATE
     ---------------      ----   --------    --------------------    --------
PI   WO 2004000831         A1    20031231    WO 2003-US19619         20030620
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU,
             ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
             MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE,
             SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2489337            AA    20031231    CA 2003-2489337         20030620
     AU 2003243709         A1    20040106    AU 2003-243709          20030620
     US 2004019099         A1    20040129    US 2003-600674          20030620
     US 6951871            B2    20051004
     EP 1539742            A1    20050615    EP 2003-761216          20030620
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     CN 1662524            A     20050831    CN 2003-814717          20030620
     JP 2005531615         T2    20051020    JP 2004-516072          20030620
     ZA 2004010213         A     20051020    ZA 2004-10213           20041217
PRAI US 2002-390987P       P     20020624
     WO 2003-US19619       W     20030620
OS   MARPAT 140:59522
GI
```



I



II



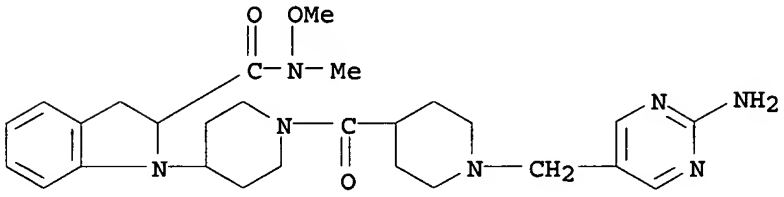
III

AB Title compds. I [wherein R1 = (un)substituted indolyl or an aza derivative thereof; R2 = (un)substituted (hetero)aryl, quinolyl, heterocycloalkyl; R12, R13 = alkyl, hydroxyl, alkoxy, etc., or R13 = O; m = independently 0-3; n = 1-3; p = 1-3; q = 1-5; X = a bond or alkylene; Y = CO, CS, COCH2, etc.; Z = a bond, alkylene, alkenylene, CO, etc.; M1 = CH or N; M2 = CR3 or N; and salts or solvates thereof] were prepared as histamine H3 antagonists in treatment of H3 receptor related diseases. For example, reaction of II with 3-(4-piperidinyl)-2-(2-pyridinyl)indole, followed by deprotection and substitution with 2-chloromethylpyridine gave III, which showed 1.50 nM binding constant with histamine H3. Thus, I and their pharmaceutical compds., as well as in combination with H1 receptor antagonists, are useful as histamine H3 antagonists for the treatment of inflammatory diseases, allergic conditions and central nervous system disorders (no data).

IT **639506-35-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. as histamine H3 antagonists)

RN 639506-35-7 CAPLUS

CN 1H-Indole-2-carboxamide, 1-[1-[[1-[(2-amino-5-pyrimidinyl)methyl]-4-piperidinyl]carbonyl]-4-piperidinyl]-2,3-dihydro-N-methoxy-N-methyl- (9CI) (CA INDEX NAME)

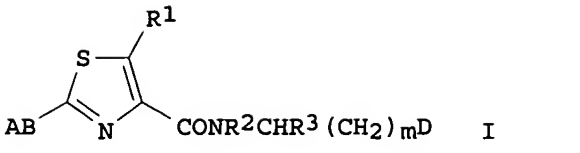


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

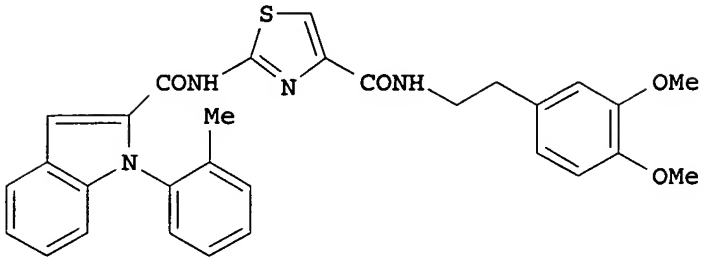
L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1997:365840 CAPLUS
DN 127:17674
TI Preparation and formulation of thiazole derivatives as cell adhesion inhibitors
IN Sasho, Setsuya; Koshimura, Hirokazu; Kamisaka, Noriaki; Miki, Ichiro; Kuno, Yukako; Kumazawa, Toshiaki; Sekine, Shin
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 42 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 09087282	A2	19970331	JP 1995-242793	19950921
PRAI	JP 1995-242793		19950921		

OS MARPAT 127:17674
GI



I



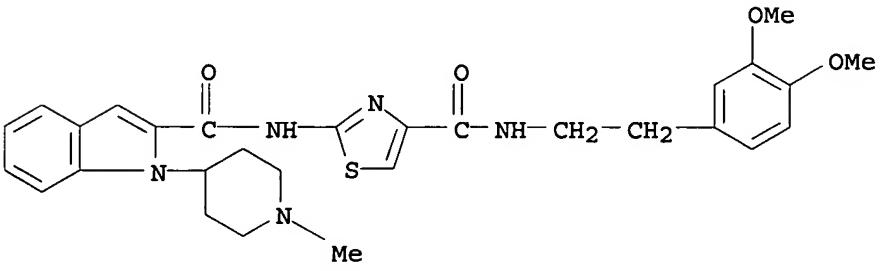
II

AB The title compds. I [R1 = H, alkyl, etc.; R2, R3 = H, alkyl; A = heterocyclic moiety (generic structure given), etc.; B = CONR7, etc.; R7 = H, alkyl; m = 0 or 1; D = (un)substituted aryl, etc.] are prepared I are useful as inflammation inhibitors (no data). The title compound II in vitro showed IC50 of 0.049 μM against the adhesion of HL60 cells to human umbilical vein endothelial cells.

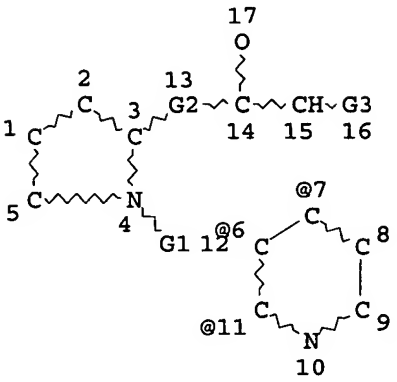
IT **189511-31-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and formulation of thiazole derivs. as cell adhesion inhibitors)

RN 189511-31-7 CAPLUS

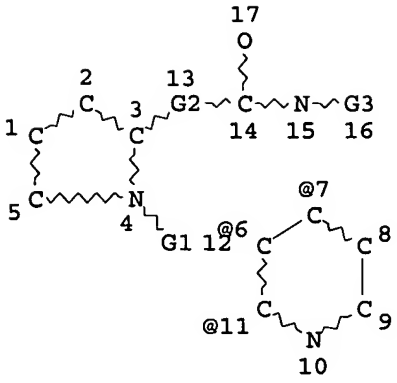
CN 1H-Indole-2-carboxamide, N-[4-[[[2-(3,4-dimethoxyphenyl)ethyl]amino]carbonyl]-2-thiazolyl]-1-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)



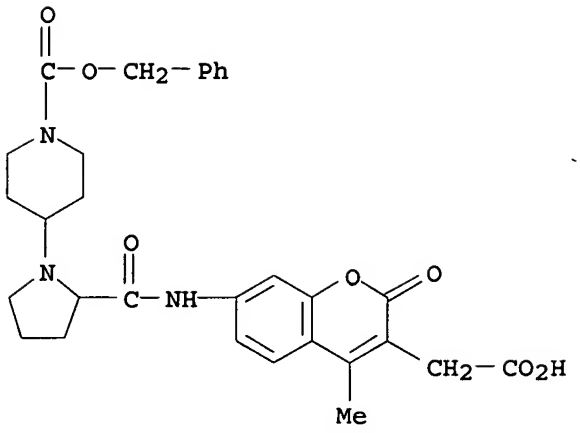
```
=> d l1
L1 HAS NO ANSWERS
L1                STR
```




```
VAR G1=7/6/11
REP G2=(0-10) CH
VAR G3=O/S
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC   1   6
NUMBER OF NODES IS  17

STEREO ATTRIBUTES: NONE


=> s l1 ful
FULL SEARCH INITIATED 08:40:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      502 TO ITERATE

100.0% PROCESSED      502 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L3             0 SEA SSS FUL L1
```




```
VAR G1=7/6/11
REP G2=(0-10) CH
VAR G3=HY/O
ENTER (DIS), GRA, NOD, BON OR ?:end
L4   STRUCTURE CREATED

=> s l4
SAMPLE SEARCH INITIATED 08:41:29 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      218 TO ITERATE

100.0% PROCESSED      218 ITERATIONS                                  0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          3475 TO     5245
PROJECTED ANSWERS:                0 TO        0

L5            0 SEA SSS SAM L4

=> s l4 ful
FULL SEARCH INITIATED 08:41:35 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     4550 TO ITERATE

100.0% PROCESSED     4550 ITERATIONS                                  1 ANSWERS
SEARCH TIME: 00.00.01

L6            1 SEA SSS FUL L4

=> d

L6   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   869203-86-1  REGISTRY
ED   Entered STN:  02 Dec 2005
CN   1-Piperidinecarboxylic acid, 4-[2-[[[3-(carboxymethyl)-4-methyl-2-oxo-2H-1-
     benzopyran-7-yl]amino]carbonyl]-1-pyrrolidinyl]-, 1-(phenylmethyl) ester
     (9CI)  (CA INDEX NAME)
FS   3D CONCORD
MF   C30 H33 N3 O7
SR   CA
LC   STN Files:   CA, CAPLUS
```



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	338.42	338.63

FILE 'CAPLUS' ENTERED AT 08:42:03 ON 17 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 17 May 2006 VOL 144 ISS 21
FILE LAST UPDATED: 16 May 2006 (20060516/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

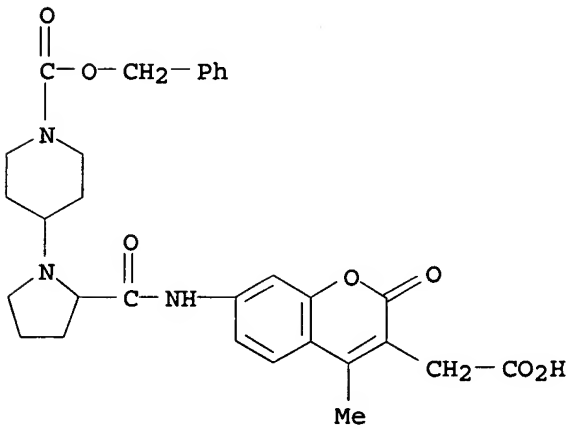
http://www.cas.org/infopolicy.html

=> s l6
L7 1 L6

=> d bib abs hitstr

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1094902 CAPLUS
DN 143:452160
TI Substrate Activity Screening: A Fragment-Based Method for the Rapid Identification of Nonpeptidic Protease Inhibitors
AU Wood, Warren J. L.; Patterson, Andrew W.; Tsuruoka, Hiroyuki; Jain, Rishi

K.; Ellman, Jonathan A.
CS Department of Chemistry, University of California-Berkeley, Berkeley, CA, 94720, USA
SO Journal of the American Chemical Society (2005), 127(44), 15521-15527
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
AB A new fragment-based method for the rapid development of novel and distinct classes of nonpeptidic protease inhibitors, Substrate Activity Screening (SAS), is described. This method consists of three steps: (1) a library of N-acyl aminocoumarins with diverse, low mol. weight N-acyl groups is screened to identify protease substrates using a simple fluorescence-based assay, (2) the identified N-acyl aminocoumarin substrates are optimized by rapid analog synthesis and evaluation, and (3) the optimized substrates are converted to inhibitors by direct replacement of the aminocoumarin with known mechanism-based pharmacophores. The SAS method was successfully applied to the cysteine protease cathepsin S, which is implicated in autoimmune diseases. Multiple distinct classes of nonpeptidic substrates were identified upon screening an N-acyl aminocoumarin library. Two of the nonpeptidic substrate classes were optimized to substrates with >8000-fold improvements in cleavage efficiency for each class. Select nonpeptidic substrates were then directly converted to low mol. weight, novel aldehyde inhibitors with nanomolar affinity to cathepsin S. This study demonstrates the unique characteristics and merits of this first substrate-based method for the rapid identification and optimization of weak fragments and provides the framework for the development of completely nonpeptidic inhibitors to many different proteases.
IT **869203-86-1**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Substrate Activity Screening of a fragment-based method for rapid identification of nonpeptidic protease inhibitors)
RN 869203-86-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-[[[3-(carboxymethyl)-4-methyl-2-oxo-2H-1-benzopyran-7-yl]amino]carbonyl]-1-pyrrolidinyl]-, 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT